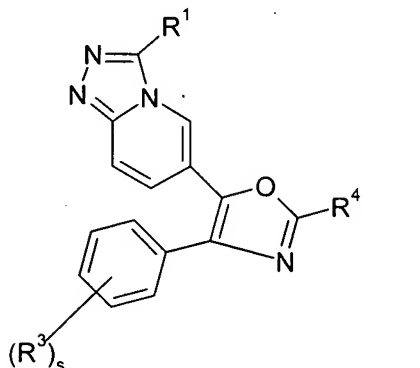


In the Claims

What is claimed is:

1. **(withdrawn)** A process for preparing a compound of the formula



wherein R¹ is selected from the group consisting of hydrogen, -C≡N, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₃-C₁₀)cycloalkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (R²)₂-N-; wherein each of the aforesaid (C₁-C₆)alkyl, (C₃-C₁₀)cycloalkyl, phenyl, (C₁-C₁₀)heteroaryl and (C₁-C₁₀)heterocyclic substituents may optionally be independently substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₃-C₁₀)cycloalkyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, formyl, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-[(C₁-C₆)alkyl]-N-(C=O)-, -NO₂, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-(C=O)-[(C₁-C₆)alkyl]-N-, [(C₁-C₆)alkyl]₂N-(C=O)-[(C₁-C₆)alkyl]-N-, (phenyl)₂N-(C=O)-[(C₁-C₆)alkyl]-N-, (C₁-C₆)alkyl-O-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-O-(C=O)-[(C₁-C₆)alkyl]-N-, (C₁-C₆)alkyl-SO₂-, phenyl-SO₂-, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₆)alkyl-(C=O)-O-, phenyl-(C=O)-O-, [(C₁-C₆)alkyl]₂N-(C=O)-O-, (phenyl)₂N-(C=O)-O-; wherein when said R² phenyl contains two adjacent substituents, such substituents may optionally be taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring; wherein each of said moieties containing a phenyl alternative may optionally be substituted by one or two radicals independently selected from the group consisting of (C₁-C₆)alkyl, halo, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkyl and perhalo(C₁-C₆)alkoxy;

each R^2 is independently selected from hydrogen, (C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl; wherein each of the aforesaid R^2 (C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl substituents may optionally be substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, -NO₂, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-[(C₁-C₆)alkyl]-N-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-; wherein two R^2 (C₁-C₆)alkyl groups may be taken together with the nitrogen atom to which they are attached to form a five to six membered heterocyclic or heteroaryl ring;

each R^3 is independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[(C₁-C₆)alkyl]-N-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)- and (C₁-C₆)alkyl-(C=O)-O-; wherein two adjacent R^3 substituents may be optionally taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring;

s is an integer from zero to five;

R^4 is selected from the group consisting of hydrogen, fluoro, chloro or R^5 -B-(CH₂)_n;

n is an integer from zero to six;

each B is independently a bond, -(CHR⁶)-, -O-, -S-, -(SO₂)-, -(C=O)-, -O-(C=O)-, -(C=O)-O-, -(C=O)-NR⁶-, -(R⁶-N)-, -(R⁶-N)-SO₂-, -(R⁶-N)-(C=O)-, -SO₂-(NR⁶)-, -(R⁶-N)-(C=O)-(NR⁷)-, -(O)-(C=O)-(NR⁶)- or -(R⁶-N)-(C=O)-O-;

R^5 is selected from the group consisting of hydrogen, -CF₃, -C≡N, R⁹-(R⁸CH)_m-, phenyl, (C₁-C₁₀)heterocyclic, (C₁-C₁₀)heteroaryl, and (C₃-C₁₀)cycloalkyl; wherein each of the aforesaid R^5 phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl substituents may optionally be substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)- (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[[(C₁-C₆)alkyl]-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-; wherein two adjacent R^5 substituents of said phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl may optionally be taken together with the carbon or heteroatom to which they are attached to form a five or six membered carbocyclic or heterocyclic ring;

m is an integer from one to six;

R^6 is hydrogen, (C₁-C₆)alkyl-SO₂- or (C₁-C₆)alkyl;

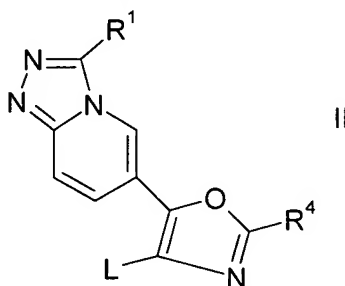
R^7 is hydrogen or (C₁-C₆)alkyl;

each R^8 is independently selected from the group consisting of hydrogen, amino, (C₁-C₆)alkoxy and (C₁-C₆)alkyl;

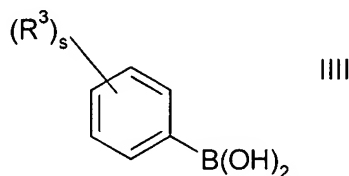
R^9 is selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-

C_{10} cycloalkyl, hydroxy, (C_1-C_6) alkoxy, perhalo (C_1-C_6) alkoxy, phenoxy,
 (C_1-C_{10}) heteroaryl-O-, (C_1-C_{10}) heterocyclic-O-, (C_3-C_{10}) cycloalkyl-O-, (C_1-C_6) alkyl-S-,
 (C_1-C_6) alkyl-SO₂-, (C_1-C_6) alkyl-NH-SO₂-, -NO₂, amino, (C_1-C_6) alkylamino,
 $[(C_1-C_6)alkyl]_2$ -amino, $(C_1-C_6)alkyl-SO_2-NH-$, phenyl-SO₂-NH-, $(C_1-C_6)alkyl-SO_2-[(C_1-C_6)alkyl-N]-$,
phenyl-SO₂- $[(C_1-C_6)alkyl-N]-$, $(C_1-C_6)alkyl-(C=O)-NH-$, $(C_1-C_6)alkyl-(C=O)-[(C_1-C_6)alkyl-N]-$,
phenyl-(C=O)-NH-, phenyl-(C=O)- $[(C_1-C_6)alkyl-N]-$, -CN,
 $(C_1-C_6)alkyl-(C=O)-$, phenyl-(C=O)-, (C_1-C_{10}) heteroaryl-(C=O)-,
 (C_1-C_{10}) heterocyclic-(C=O)-, (C_3-C_{10}) cycloalkyl-(C=O)-, HO-(C=O)-, $(C_1-C_6)alkyl-O-(C=O)-$,
H₂N(C=O)-, $(C_1-C_6)alkyl-NH-(C=O)-$, $[(C_1-C_6)alkyl]_2-N-(C=O)-$, phenyl-NH-(C=O)-,
phenyl- $[(C_1-C_6)alkyl-N]-(C=O)-$, (C_1-C_{10}) heteroaryl-NH-(C=O)-,
 (C_1-C_{10}) heterocyclic-NH-(C=O)-, (C_3-C_{10}) cycloalkyl-NH-(C=O)-, $(C_1-C_6)alkyl-(C=O)-O-$
and phenyl-(C=O)-O-;

or an acceptable salt thereof; comprising reacting a compound of the formula



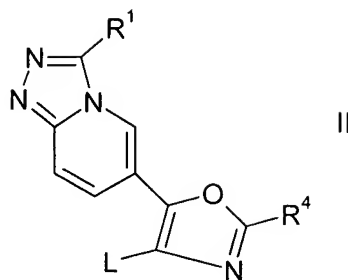
wherein L is a leaving group and R¹ and R⁴ are as defined above, with a compound of the formula



wherein R³ and s are as defined above and a transition metal catalyst.

2. **(withdrawn)** A process according to claim 1, where the reaction is performed in the presence of toluene.

3. **(original)** A process for preparing a compound of the formula



wherein L is halo and R¹ and R⁴ are as defined above;

R¹ is selected from the group consisting of hydrogen, -C≡N, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₃-C₁₀)cycloalkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (R¹)₂-N-; wherein each of the aforesaid (C₁-C₆)alkyl, (C₃-C₁₀)cycloalkyl, phenyl, (C₁-C₁₀)heteroaryl and (C₁-C₁₀)heterocyclic substituents may optionally be independently substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₃-C₁₀)cycloalkyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, formyl, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[(C₁-C₆)alkyl]-N-(C=O)-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-(C=O)-NH-, phenyl-(C=O)-[(C₁-C₆)alkyl]-N-, H₂N-(C=O)-NH-, (C₁-C₆)alkyl-HN-(C=O)-NH-, [(C₁-C₆)alkyl]₂N-(C=O)-NH-, (C₁-C₆)alkyl-HN-(C=O)-[(C₁-C₆)alkyl]-N-, [(C₁-C₆)alkyl]₂N-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-HN-(C=O)-NH-, (phenyl)₂N-(C=O)-NH-, phenyl-HN-(C=O)-[(C₁-C₆)alkyl]-N-, (phenyl)₂N-(C=O)-[(C₁-C₆)alkyl]-N-, (C₁-C₆)alkyl-O-(C=O)-NH-, (C₁-C₆)alkyl-O-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-O-(C=O)-NH-, phenyl-O-(C=O)-[(C₁-C₆)alkyl]-N-, (C₁-C₆)alkyl-SO₂NH-, phenyl-SO₂NH-, (C₁-C₆)alkyl-SO₂-, phenyl-SO₂-, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₆)alkyl-(C=O)-O-, phenyl-(C=O)-O-, H₂N-(C=O)-O-, (C₁-C₆)alkyl-HN-(C=O)-O-, [(C₁-C₆)alkyl]₂N-(C=O)-O-, phenyl-HN-(C=O)-O-, (phenyl)₂N-(C=O)-O-; wherein when said R¹ phenyl contains two adjacent substituents, such substituents may optionally be taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring; wherein each of said moieties containing a phenyl alternative may

optionally be substituted by one or two radicals independently selected from the group consisting of (C₁-C₆)alkyl, halo, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkyl and perhalo(C₁-C₆)alkoxy;

each R² is independently selected from hydrogen, (C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl; wherein each of the aforesaid R¹ (C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl substituents may optionally be substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)- (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[[(C₁-C₆)alkyl]-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-; wherein two R² (C₁-C₆)alkyl groups may be taken together with the nitrogen atom to which they are attached to form a five to six membered heterocyclic or heteroaryl ring;

R⁴ is selected from the group consisting of hydrogen, fluoro, chloro or R⁵-B-(CH₂)_n;

n is an integer from zero to six;

each B is independently a bond, -(CHR⁶)-, -O-, -S-, -(SO₂)-, -(C=O)-, -O-(C=O)-, -(C=O)-O-, -(C=O)-NR⁶-, -(R⁶-N)-, -(R⁶-N)-SO₂-, -(R⁶-N)-(C=O)-, -SO₂-(NR⁶)-, -(R⁶-N)-(C=O)-(NR⁷)-, -(O)-(C=O)-(NR⁶)- or -(R⁶-N)-(C=O)-O-;

R⁵ is selected from the group consisting of hydrogen, -CF₃, -C≡N, R⁹-(R⁸CH)_m-, phenyl, (C₁-C₁₀)heterocyclic, (C₁-C₁₀)heteroaryl, and (C₃-C₁₀)cycloalkyl; wherein each of the aforesaid R⁵ phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl substituents may optionally be substituted by one to four moieties independently selected

from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[((C₁-C₆)alkyl)-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[((C₁-C₆)alkyl)-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[(C₁-C₆)alkyl)-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-; wherein two adjacent R⁵ substituents of said phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl may optionally be taken together with the carbon or heteroatom to which they are attached to form a five or six membered carbocyclic or heterocyclic ring;

m is an integer from one to six;

R⁶ is hydrogen, (C₁-C₆)alkyl-SO₂- or (C₁-C₆)alkyl;

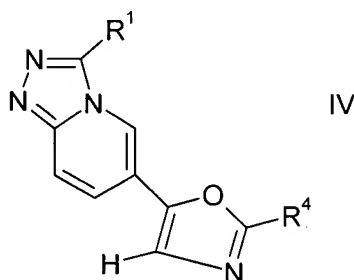
R⁷ is hydrogen or (C₁-C₆)alkyl;

each R⁸ is independently selected from the group consisting of hydrogen, amino, (C₁-C₆)alkoxy and (C₁-C₆)alkyl;

R⁹ is selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, phenyl-SO₂-NH-, (C₁-C₆)alkyl-SO₂-[((C₁-C₆)alkyl)-N]-, phenyl-SO₂-[((C₁-C₆)alkyl)-N]-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[((C₁-C₆)alkyl)-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[((C₁-C₆)alkyl)-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[(C₁-C₆)alkyl)-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-,

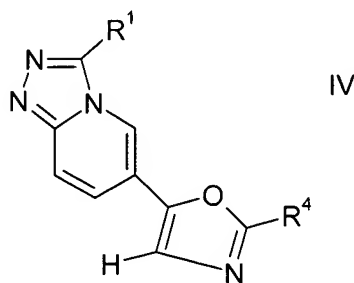
(C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-;

by reaction of a compound of the formula

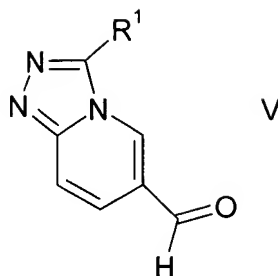


wherein R¹ and R⁴ are as defined above; with a halogenating reagent.

4. **(original)** A process according to claim 2, wherein reaction is performed in the presence of a strong base.
5. **(original)** A process according to claim 3, wherein said strong base is lithium bis(trimethylsilyl)amide or lithium diisopropylamide.
6. **(original)** A process according to claim 4, additionally comprising a polar aprotic solvent.
7. **(original)** A process according to claim 5, wherein said polar aprotic solvent is N,N-dimethylformamide.
8. **(withdrawn)** A process for preparing a compound of the formula

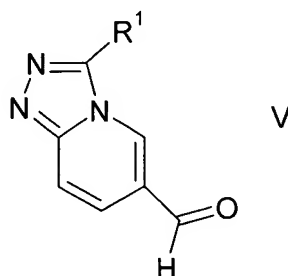


wherein R⁴ is hydrogen and R¹ is as defined above in claim 1; comprising reacting a compound of the formula

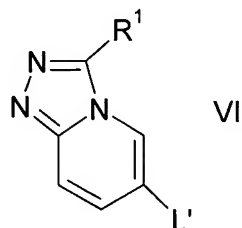


wherein R¹ is as defined above; with tosylmethyl isocyanide and a base.

9. A process for preparing a compound of the formula



wherein R¹ is as defined above in claim 2; by reaction of a compound of the formula

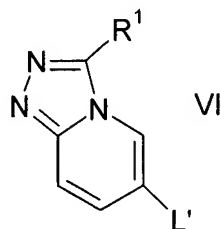


wherein L' is bromo or iodo and R¹ is as defined above; with an (C₁-C₆)alkyl magnesium halide or (C₁-C₆)alkyl lithium, followed by reaction with a disubstituted formamide reagent;

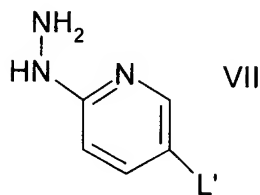
with the proviso that R¹ is other than isopropyl.

10. **(withdrawn)** A process according to claim 9, additionally comprising citric acid or potassium dihydrogen phosphate.

11. **(withdrawn)** A process for preparing a compound of the formula

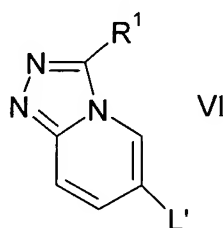


wherein L' is halo; and R¹ is isopropyl, comprising reacting a compound of the formula



wherein L' is halo; with isobutyryl chloride.

12. (withdrawn) A process for preparing a compound of the formula



wherein L' is halo;

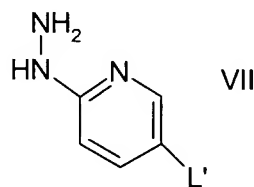
R¹ is selected from the group consisting of hydrogen, -C≡N, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₃-C₁₀)cycloalkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (R¹)₂-N-; wherein each of the aforesaid (C₁-C₆)alkyl, (C₃-C₁₀)cycloalkyl, phenyl, (C₁-C₁₀)heteroaryl and (C₁-C₁₀)heterocyclic substituents may optionally be independently substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₃-C₁₀)cycloalkyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, formyl, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-[(C₁-C₆)alkyl]-N-(C=O)-, -NO₂, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-(C=O)-[(C₁-C₆)alkyl]-N-, [(C₁-C₆)alkyl-]₂N-(C=O)-[(C₁-C₆)alkyl]-N-, (phenyl-)₂N-(C=O)-[(C₁-C₆)alkyl]-N-, (C₁-C₆)alkyl-O-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-O-(C=O)-[(C₁-C₆)alkyl]-N-, (C₁-C₆)alkyl-SO₂-, phenyl-SO₂-, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₆)alkyl-(C=O)-O-, phenyl-(C=O)-O-, [(C₁-C₆)alkyl]₂N-(C=O)-O-, (phenyl-)₂N-(C=O)-O-; wherein when said R¹ phenyl contains two adjacent substituents, such substituents may optionally be taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring; wherein each of said moieties containing a phenyl alternative may optionally be substituted by one or two

radicals independently selected from the group consisting of (C₁-C₆)alkyl, halo, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkyl and perhalo(C₁-C₆)alkoxy;

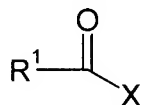
and each R² is independently selected from hydrogen, (C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl; wherein each of the aforesaid R¹ (C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl substituents may optionally be substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, -NO₂, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-[[(C₁-C₆)alkyl]-N]-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-; wherein two R² (C₁-C₆)alkyl groups may be taken together with the nitrogen atom to which they are attached to form a five to six membered heterocyclic or heteroaryl ring;

with the proviso that R¹ is other than isopropyl;

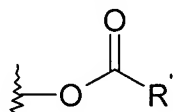
comprising reacting a compound of the formula



wherein L' is halo; with a reagent of the formula



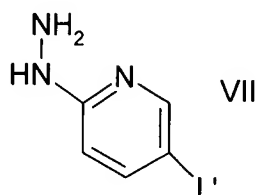
wherein X is halo, tosyl, mesyl or a group of the formula



wherein R' is R¹, t-butyl, or (C₁-C₆)alkyl-O-;

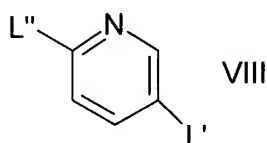
and R¹ is other than isopropyl.

13. **(withdrawn)** A process for preparing a compound of the formula



wherein L' is halo;

comprising reacting a compound of the formula



wherein L' is halo and L'' is halo; with a hydrazine, PEG-300, water and 2-butanone.

14. **(withdrawn)** A process according to claim 1, wherein R¹ is optionally substituted (C₁-C₆)alkyl, phenyl, (C₃-C₁₀)cycloalkyl, (C₁-C₁₀)heteroaryl or (C₁-C₁₀)heterocyclic.

15. **(withdrawn)** A process according to claim 1, wherein R¹ is (C₁-C₆)alkyl, optionally substituted with one to four groups independently selected from halo, hydroxy, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkyl, perhalo(C₁-C₆)alkoxy, -CN, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, HO-(C=O)-, (C₁-C₆)alkyl-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, (C₁-C₆)alkyl-CO₂-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-NH-(C=O)-, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, (C₁-C₆)alkyl-[[(C₁-C₆)alkyl]-N]-(C=O)-, (C₁-C₆)alkyl-SO₂NH-, (C₁-C₆)alkyl-SO₂-, optionally substituted phenyl-(C=O)-, optionally substituted phenyl-(C=O)-O-, optionally substituted phenoxy, optionally substituted phenyl-NH-(C=O)-, optionally substituted phenyl-[[(C₁-C₆)alkyl]-N]-(C=O)-, optionally substituted phenyl-(C=O)-NH- and optionally substituted phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-.

16. **(withdrawn)** A process according to claim 1, wherein R¹ is (C₁-C₄)alkyl.

17. **(withdrawn)** A process according to claim 1, wherein R¹ is isopropyl.

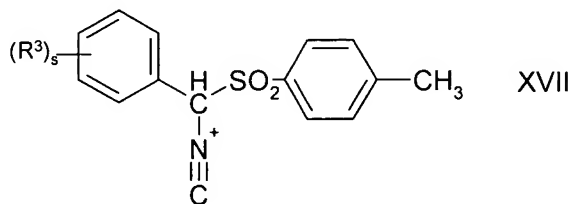
18. **(withdrawn)** A process according to claim 1, wherein R¹ is optionally substituted (C₃-C₆)cycloalkyl.

19. **(withdrawn)** A process according to claim 1, wherein R¹ is optionally substituted phenyl.

20. **(withdrawn)** A process according to claim 1, wherein R¹ is optionally substituted phenyl, wherein said substituents are independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₃-C₁₀)cycloalkyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, formyl, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[(C₁-C₆)alkyl]-N-(C=O)-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-(C=O)-NH-, phenyl-(C=O)-[(C₁-C₆)alkyl]-N-, H₂N-(C=O)-NH-, (C₁-C₆)alkyl-HN-(C=O)-NH-, [(C₁-C₆)alkyl]₂-N-(C=O)-NH-, (C₁-C₆)alkyl-HN-(C=O)-[(C₁-C₆)alkyl]-N-, [(C₁-C₆)alkyl]₂-N-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-HN-(C=O)-NH-, (phenyl)₂-N-(C=O)-NH-, phenyl-HN-(C=O)-[(C₁-C₆)alkyl]-N-, (phenyl)₂-N-(C=O)-[(C₁-C₆)alkyl]-N-, (C₁-C₆)alkyl-O-(C=O)-NH-, (C₁-C₆)alkyl-O-(C=O)-[(C₁-C₆)alkyl]-N-, phenyl-O-(C=O)-NH-, phenyl-O-(C=O)-[(C₁-C₆)alkyl]-N-, (C₁-C₆)alkyl-SO₂NH-, phenyl-SO₂NH-, (C₁-C₆)alkyl-SO₂-, phenyl-SO₂-, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₆)alkyl-(C=O)-O-, phenyl-(C=O)-O-, H₂N-(C=O)-O-, (C₁-C₆)alkyl-HN-(C=O)-O-, [(C₁-C₆)alkyl]₂-N-(C=O)-O-, phenyl-HN-(C=O)-O-, (phenyl)₂-N-(C=O)-O-; wherein each of said moieties containing a phenyl alternative may optionally be substituted by one or two radicals independently selected from the group consisting of (C₁-C₆)alkyl, halo, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkyl and perhalo(C₁-C₆)alkoxy.

21. **(withdrawn)** A process according to claim 1, wherein R¹ is optionally substituted phenyl wherein said substituents are independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, perhalo(C₁-C₆)alkyl, -CN, (C₁-C₆)alkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[(C₁-C₆)alkyl]-N-, H₂N-(C=O)-NH-, (C₁-C₆)alkyl-HN-(C=O)-NH-, [(C₁-C₆)alkyl]₂-N-(C=O)-NH-, (C₁-C₆)alkyl-HN-(C=O)-[(C₁-C₆)alkyl]-N-, [(C₁-C₆)alkyl]₂-N-(C=O)-[(C₁-C₆)alkyl]-N-, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, (C₁-C₆)alkyl-(C=O)-O-, H₂N-(C=O)-O-, (C₁-C₆)alkyl-HN-(C=O)-O- and [(C₁-C₆)alkyl]₂-N-(C=O)-O-.

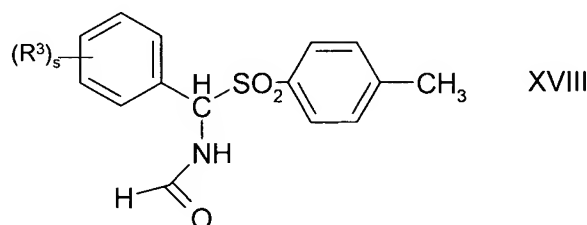
22. **(withdrawn)** A process according to claim 1, wherein R^1 is optionally substituted phenyl containing two adjacent substituents which taken together with the carbon atoms to which they are attached form a five to six membered carbocyclic or heterocyclic ring.
23. **(withdrawn)** A process according to claim 1, wherein R^1 is $(R^2)_2-N-$, wherein each R^1 is independently selected from hydrogen, (C_1-C_6) alkyl, phenyl, (C_1-C_{10}) heterocyclic and (C_3-C_{10}) cycloalkyl; wherein each of the aforesaid R^2 , (C_1-C_6) alkyl, phenyl, (C_1-C_{10}) heteroaryl, (C_1-C_{10}) heterocyclic and (C_3-C_{10}) cycloalkyl substituents may optionally be substituted by one to four moieties independently selected from the group consisting of halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, perhalo (C_1-C_6) alkyl, phenyl, (C_1-C_{10}) heteroaryl, (C_1-C_{10}) heterocyclic, (C_3-C_{10}) cycloalkyl, hydroxy, (C_1-C_6) alkoxy, perhalo (C_1-C_6) alkoxy, phenoxy, (C_1-C_{10}) heteroaryl-O-, (C_1-C_{10}) heterocyclic-O-, (C_3-C_{10}) cycloalkyl-O-, (C_1-C_6) alkyl-S-, (C_1-C_6) alkyl-SO₂-, (C_1-C_6) alkyl-NH-SO₂-, -NO₂, amino, (C_1-C_6) alkylamino, $[(C_1-C_6)alkyl]_2$ -amino, $(C_1-C_6)alkyl-SO_2-NH-$, $(C_1-C_6)alkyl-(C=O)-NH-$, $(C_1-C_6)alkyl-(C=O)-[((C_1-C_6)alkyl)-N]-$, phenyl-(C=O)-NH-, phenyl-(C=O)- $[(C_1-C_6)alkyl)-N]-$, -CN, $(C_1-C_6)alkyl-(C=O)-$, phenyl-(C=O)-, (C_1-C_{10}) heteroaryl-(C=O)-, (C_1-C_{10}) heterocyclic-(C=O)-, (C_3-C_{10}) cycloalkyl-(C=O)-, HO-(C=O)-, $(C_1-C_6)alkyl-O-(C=O)-$, H₂N(C=O)- $(C_1-C_6)alkyl-NH-(C=O)-$, $[(C_1-C_6)alkyl]_2-N-(C=O)-$, phenyl-NH-(C=O)-, phenyl- $[(C_1-C_6)alkyl)-N]-(C=O)-$, (C_1-C_{10}) heteroaryl-NH-(C=O)-, (C_1-C_{10}) heterocyclic-NH-(C=O)-, (C_3-C_{10}) cycloalkyl-NH-(C=O)-, $(C_1-C_6)alkyl-(C=O)-O-$ and phenyl-(C=O)-O-; wherein two R^2 (C_1-C_6) alkyl groups may be taken together with the nitrogen atom to form a five to six membered heterocyclic or heteroaryl ring.
24. **(withdrawn)** A process according to claim 1, wherein R^1 is $(R^2)_2-N-$ and wherein each R^2 is independently selected from hydrogen, (C_1-C_4) alkyl, phenyl and (C_1-C_{10}) heterocyclic.
25. **(withdrawn)** A process according to claim 1, wherein R^4 is hydrogen.
26. **(withdrawn)** A process for preparing a compound of the formula



wherein each R^3 is independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[[(C₁-C₆)alkyl]-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)- and (C₁-C₆)alkyl-(C=O)-O-; wherein two adjacent R^3 substituents may be optionally taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring;

s is an integer from zero to five;

or an acceptable salt thereof; comprising reacting a compound of the formula

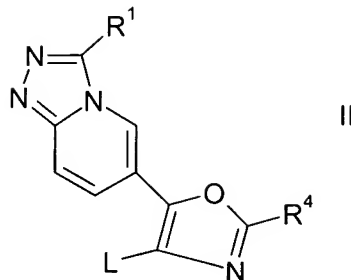


wherein R^3 and s are as defined above, in the presence of POCl₃, 2,6-lutidine and a solvent.

27. **(withdrawn)** A process according to claim 26, wherein said solvent is tetrahydrofuran.

28. **(withdrawn)** A process according to claim 27, further comprising working up the reaction in the presence of citric acid.

29. **(withdrawn)** A compound of the formula



wherein L is bromo or chloro;

R^1 is selected from the group consisting of hydrogen, $-C\equiv N$, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, (C_3-C_{10}) cycloalkyl, phenyl, (C_1-C_{10}) heteroaryl, (C_1-C_{10}) heterocyclic and $(R^2)_2-N-$; wherein each of the aforesaid (C_1-C_6) alkyl, (C_3-C_{10}) cycloalkyl, phenyl, (C_1-C_{10}) heteroaryl and (C_1-C_{10}) heterocyclic substituents may optionally be independently substituted by one to four moieties independently selected from the group consisting of halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, perhalo (C_1-C_6) alkyl, phenyl, (C_3-C_{10}) cycloalkyl, (C_1-C_{10}) heteroaryl, (C_1-C_{10}) heterocyclic, formyl, $-CN$, (C_1-C_6) alkyl- $(C=O)-$, phenyl- $(C=O)-$, $HO-(C=O)-$, (C_1-C_6) alkyl- $O-(C=O)-$, (C_1-C_6) alkyl- $NH-(C=O)-$, $[(C_1-C_6)alkyl]_2-N-(C=O)-$, phenyl- $NH-(C=O)-$, phenyl- $[(C_1-C_6)alkyl-N]-(C=O)-$, $-NO_2$, amino, (C_1-C_6) alkylamino, $[(C_1-C_6)alkyl]_2$ -amino, $(C_1-C_6)alkyl-(C=O)-NH-$, $(C_1-C_6)alkyl-(C=O)-[(C_1-C_6)alkyl-N]-$, phenyl- $(C=O)-NH-$, phenyl- $(C=O)-[(C_1-C_6)alkyl-N]-$, $H_2N-(C=O)-NH-$, $(C_1-C_6)alkyl-HN-(C=O)-NH-$, $[(C_1-C_6)alkyl]_2N-(C=O)-NH-$, $(C_1-C_6)alkyl-HN-(C=O)-[(C_1-C_6)alkyl-N]-$, $[(C_1-C_6)alkyl]_2N-(C=O)-[(C_1-C_6)alkyl-N]-$, phenyl- $HN-(C=O)-NH-$, $(phenyl)_2N-(C=O)-NH-$, phenyl- $HN-(C=O)-[(C_1-C_6)alkyl-N]-$, $(phenyl)_2N-(C=O)-[(C_1-C_6)alkyl-N]-$, $(C_1-C_6)alkyl-O-(C=O)-NH-$, $(C_1-C_6)alkyl-O-(C=O)-[(C_1-C_6)alkyl-N]-$, phenyl- $O-(C=O)-NH-$, phenyl- $O-(C=O)-[(C_1-C_6)alkyl-N]-$, $(C_1-C_6)alkyl-SO_2NH-$, phenyl- SO_2NH- , $(C_1-C_6)alkyl-SO_2-$, phenyl- SO_2- , hydroxy, (C_1-C_6) alkoxy, perhalo (C_1-C_6) alkoxy, phenoxy, $(C_1-C_6)alkyl-C(=O)-O-$, phenyl- $(C=O)-O-$, $H_2N-(C=O)-O-$, $(C_1-C_6)alkyl-HN-(C=O)-O-$, $[(C_1-C_6)alkyl]_2N-C(=O)-O-$, phenyl- $HN-(C=O)-O-$, $(phenyl)_2N-(C=O)-O-$; wherein when said R^1 phenyl contains two adjacent substituents, such substituents may optionally be taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring; wherein each of said moieties containing a phenyl alternative may optionally be substituted by one or two radicals independently selected

from the group consisting of (C₁-C₆)alkyl, halo, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkyl and perhalo(C₁-C₆)alkoxy;

each R² is independently selected from hydrogen, (C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl; wherein each of the aforesaid R¹ (C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl substituents may optionally be substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[[(C₁-C₆)alkyl]-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-; wherein two R² (C₁-C₆)alkyl groups may be taken together with the nitrogen atom to which they are attached to form a five to six membered heterocyclic or heteroaryl ring;

R⁴ is selected from the group consisting of hydrogen, halo or R⁵-B-(CH₂)_n;

n is an integer from zero to six;

each B is independently a bond, -(CHR⁶)-, -O-, -S-, -(SO₂)-, -(C=O)-, -O-(C=O)-, -(C=O)-O-, -(C=O)-NR⁶-, -(R⁶-N)-, -(R⁶-N)-SO₂-, -(R⁶-N)-(C=O)-, -SO₂-(NR⁶)-, -(R⁶-N)-(C=O)-(NR⁷)-, -(O)-(C=O)-(NR⁶)- or -(R⁶-N)-(C=O)-O-;

R⁵ is selected from the group consisting of hydrogen, -CF₃, -C≡N, R⁹-(R⁸CH)_m-, phenyl, (C₁-C₁₀)heterocyclic, (C₁-C₁₀)heteroaryl, and (C₃-C₁₀)cycloalkyl; wherein each of the aforesaid R⁵ phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl substituents may optionally be substituted by one to four moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl,

hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[((C₁-C₆)alkyl)-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[((C₁-C₆)alkyl)-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[((C₁-C₆)alkyl)-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-; wherein two adjacent R⁵ substituents of said phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl may optionally be taken together with the carbon or heteroatom to which they are attached to form a five or six membered carbocyclic or heterocyclic ring;

m is an integer from one to six;

R⁶ is hydrogen, (C₁-C₆)alkyl-SO₂- or (C₁-C₆)alkyl;

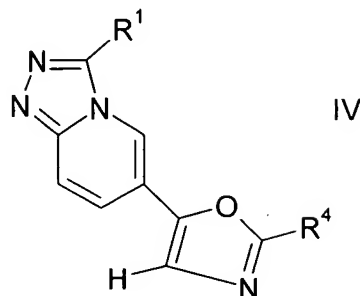
R⁷ is hydrogen or (C₁-C₆)alkyl;

each R⁸ is independently selected from the group consisting of hydrogen, amino, (C₁-C₆)alkoxy and (C₁-C₆)alkyl;

R⁹ is selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, phenyl-SO₂-NH-, (C₁-C₆)alkyl-SO₂-[((C₁-C₆)alkyl)-N]-, phenyl-SO₂-[((C₁-C₆)alkyl)-N]-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[((C₁-C₆)alkyl)-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[((C₁-C₆)alkyl)-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[((C₁-C₆)alkyl)-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-;

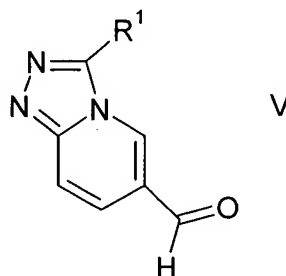
or a salt thereof.

30. **(withdrawn)** A compound of the formula



wherein R^1 and R^4 are as defined above in claim 21; or a salt thereof.

31. **(withdrawn)** A compound of the formula



wherein R^1 is as defined above; or a salt thereof, wherein said compound is other than 3-isopropyl-[1,2,4]triazolo(4,3-a)-6-pyridinecarboxaldehyde.

32. **(withdrawn)** A compound according to claim 22, wherein R^1 is (C_1-C_6) alkyl.

33. **(withdrawn)** A compound according to claim 22, wherein R^1 is isopropyl.

34. **(withdrawn)** A compound according to claim 22, wherein R^4 is hydrogen.

35. **(withdrawn)** A compound according to claim 22, wherein R^4 is $R^5-B-(CH_2)_n-$ and n is zero.

36. **(withdrawn)** A compound according to claim 22, wherein R^4 is $R^5-B-(CH_2)_n-$ and n is an integer from one to five.

37. **(withdrawn)** A compound according to claim 22, wherein R^4 is $R^5-B-(CH_2)_n-$; n is zero; B is a bond and R^5 is selected from the group consisting of hydrogen, $-CF_3$, $-C\equiv N$, (C_1-C_{10}) heteroaryl, (C_1-C_{10}) heterocyclic or (C_3-C_{10}) cycloalkyl; wherein each of the aforesaid (C_1-C_{10}) heteroaryl, (C_1-C_{10}) heterocyclic and (C_3-C_{10}) cycloalkyl may optionally be substituted by one to three moieties independently selected from the group consisting of halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_1-C_6) alkynyl, perhalo (C_1-C_6) alkyl, hydroxy, (C_1-C_6) alkoxy, perhalo (C_1-C_6) alkoxy, (C_1-C_6) alkyl-S-, (C_1-C_6) alkyl-SO₂-, (C_1-C_6) alkyl-NH-

SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[N(C₁-C₆)alkyl]-, -CN, (C₁-C₆)alkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)- and (C₁-C₆)alkyl-(C=O)-O-.

38. **(withdrawn)** A compound according to claim 22, wherein R⁴ is R⁵-B-(CH₂)_n-; n is zero; B is -(C=O)-NR⁶-, -(R⁶-N)-, -(R⁶-N)-SO₂-, -(R⁶-N)-(C=O)-, >C=O, -O-(C=O)-, -SO₂-(NR⁶)-, -(R⁶-N)-(C=O)-(NR⁷)-, and

R⁵ is selected from the group consisting of hydrogen, (C₃-C₁₀)cycloalkyl or phenyl; wherein the aforesaid phenyl and (C₃-C₁₀)cycloalkyl may optionally be substituted by one to three moieties independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[N(C₁-C₆)alkyl]-, -CN, (C₁-C₆)alkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)- and (C₁-C₆)alkyl-(C=O)-O-.

39. **(withdrawn)** A compound according to claim 22, wherein R⁴ is R⁵-B-(CH₂)_n-; n is zero; B is -(C=O)-NR⁶-, -(R⁶-N)-, >C=O, -O-(C=O)-, -(R⁶-N)-(C=O)- or -(R⁶-N)-(C=O)-(NR⁷)-, R⁹ is R⁹-(R⁸CH)_m-; m is 1-6; R⁶ is hydrogen or methyl; R⁸ is hydrogen or methyl; and R⁹ is selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂amino, (C₁-C₆)alkyl-SO₂-NH-, phenyl-SO₂-NH-, (C₁-C₆)alkyl-SO₂-[N-(C₁-C₆)alkyl]-, phenyl-SO₂-[N-(C₁-C₆)alkyl]-, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[N(C₁-C₆)alkyl]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[N-(C₁-C₆)alkyl]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-,

H₂N(C=O)-, (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[N-((C₁-C₆)alkyl)]-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-.

40. **(withdrawn)** A compound according to claim 22, wherein R⁴ is R⁵-B-(CH₂)_n-; n is zero; B is -(R⁶-N)-; R⁵ is hydrogen or R⁹-(R⁸CH)_m-; m is 1-6; R⁶ is hydrogen or methyl; R⁸ is hydrogen or methyl; and R⁹ is selected from the group consisting of hydrogen, (C₁-C₆)alkyl, hydroxy, (C₁-C₆)alkoxy, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂amino, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic and (C₃-C₁₀)cycloalkyl.

41. **(withdrawn)** A compound according to claim 22, wherein R⁴ is R⁵-B-(CH₂)_n-; n is one to four; B is -(C=O)-NR⁶-, -(R⁶-N)-, -(R⁶-N)-(C=O)- or -(R⁶-N)-(C=O)-(NR⁷)-; R⁵ is R⁹-(R⁸CH)_m-; m is 1-6; R⁶ is hydrogen or methyl; R⁸ is hydrogen or methyl; and R⁹ is selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂amino, (C₁-C₆)alkyl-SO₂-NH-, phenyl-SO₂-NH-, (C₁-C₆)alkyl-SO₂-[N-(C₁-C₆)alkyl]-, phenyl-SO₂-[N-(C₁-C₆)alkyl]-, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[((C₁-C₆)alkyl)-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[((C₁-C₆)alkyl)-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)- (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[((C₁-C₆)alkyl)-N]-(C=O)-, (C₁-C₆)alkyl-(C=O)-O- and phenyl-(C=O)-O-.

42. **(withdrawn)** A compound according claim 1, wherein s is an integer from zero to four and each R³ is independently selected from the group consisting of halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, perhalo(C₁-C₆)alkyl, phenyl, (C₁-C₁₀)heteroaryl, (C₁-C₁₀)heterocyclic, (C₃-C₁₀)cycloalkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, phenoxy, (C₁-C₁₀)heteroaryl-O-, (C₁-C₁₀)heterocyclic-O-, (C₃-C₁₀)cycloalkyl-O-, (C₁-C₆)alkyl-S-, (C₁-C₆)alkyl-SO₂-, (C₁-C₆)alkyl-NH-SO₂-, -NO₂,

amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-, amino, (C₁-C₆)alkyl-SO₂-NH-, (C₁-C₆)alkyl-(C=O)-NH-, (C₁-C₆)alkyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, phenyl-(C=O)-NH-, phenyl-(C=O)-[[(C₁-C₆)alkyl]-N]-, -CN, (C₁-C₆)alkyl-(C=O)-, phenyl-(C=O)-, (C₁-C₁₀)heteroaryl-(C=O)-, (C₁-C₁₀)heterocyclic-(C=O)-, (C₃-C₁₀)cycloalkyl-(C=O)-, HO-(C=O)-, (C₁-C₆)alkyl-O-(C=O)-, H₂N(C=O)- (C₁-C₆)alkyl-NH-(C=O)-, [(C₁-C₆)alkyl]₂-N-(C=O)-, phenyl-NH-(C=O)-, phenyl-[[(C₁-C₆)alkyl]-N]-(C=O)-, (C₁-C₁₀)heteroaryl-NH-(C=O)-, (C₁-C₁₀)heterocyclic-NH-(C=O)-, (C₃-C₁₀)cycloalkyl-NH-(C=O)- and (C₁-C₆)alkyl-(C=O)-O-.

43. **(withdrawn)** A compound according to claim 1, wherein s is an integer from zero to four and each R³ is independently selected from the group consisting of halo, -CN, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl and perhalo(C₁-C₆)alkyl.

44. **(withdrawn)** A compound according to claim 1, wherein s is an integer from zero to four and zero, one or two of R³ are independently selected from the group consisting of halo, (C₁-C₆)alkyl, perhalo(C₁-C₆)alkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, -CN, and H₂N(C=O)-.

45. **(withdrawn)** A compound according to claim 1, wherein s is an integer from zero to three and each R³ is independently selected from the group consisting of halo, (C₁-C₆)alkyl, perhalo(C₁-C₆)alkyl, hydroxy, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy, -NO₂, amino, (C₁-C₆)alkylamino, [(C₁-C₆)alkyl]₂-amino, -CN, and H₂N(C=O)-.

46. **(withdrawn)** A compound according to claim 1, wherein s is an integer from zero to two and each R³ is independently selected from the group consisting of halo, (C₁-C₆)alkyl, perhalo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, perhalo(C₁-C₆)alkoxy and -CN.

47. **(withdrawn)** A compound according to claim 1, wherein s is an integer from zero to three and each R³ is independently selected from the group consisting of fluoro, chloro and methyl.

48. **(withdrawn)** A compound selected from the group consisting of:

3-Isopropyl-6-[4-bromo-oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine; and
3-Isopropyl-6-[oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine; or
an acceptable salt thereof.